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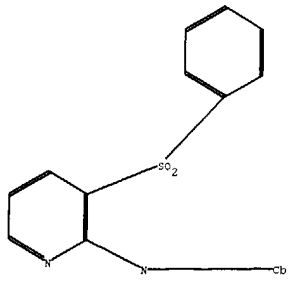
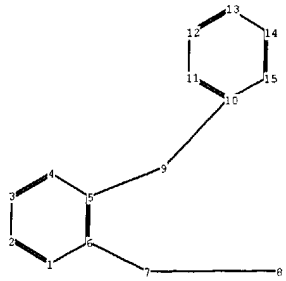
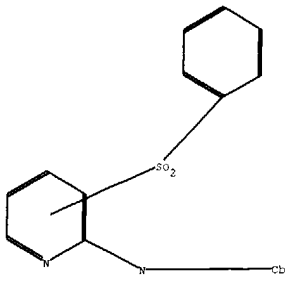


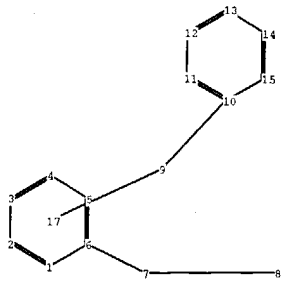


chain nodes :
7 8 9
ring nodes :
1 2 3 4 5 6 10 11 12 13 14 15
chain bonds :
5-9 6-7 7-8 9-10
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15
exact/norm bonds :
6-7
exact bonds :
5-9 7-8 9-10
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15
isolated ring systems :
containing 1 : 10 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:CLASS 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom

C:\stnweb\Queries\3.str

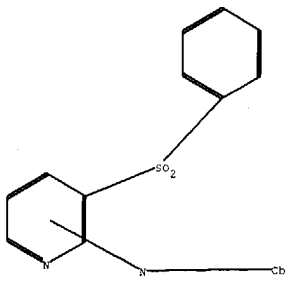


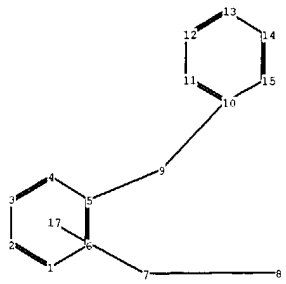


chain nodes :
7 8 9
ring nodes :
1 2 3 4 5 6 10 11 12 13 14 15
chain bonds :
6-7 7-8 9-10
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15
exact/norm bonds :
6-7
exact bonds :
7-8 9-10
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15
isolated ring systems :
containing 1 : 10 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:CLASS 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 17:CLASS

C:\stnweb\Queries\3a.str





chain nodes :
7 8 9
ring nodes :
1 2 3 4 5 6 10 11 12 13 14 15
chain bonds :
5-9 7-8 9-10
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15
exact bonds :
5-9 7-8 9-10
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15
isolated ring systems :
containing 1 : 10 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:CLASS 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 17:CLASS

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	May 12	EXTEND option available in structure searching
NEWS 4	May 12	Polymer links for the POLYLINK command completed in REGISTRY
NEWS 5	May 27	New UPM (Update Code Maximum) field for more efficient patent SDIs in CAplus
NEWS 6	May 27	CAplus super roles and document types searchable in REGISTRY
NEWS 7	Jun 28	Additional enzyme-catalyzed reactions added to CASREACT
NEWS 8	Jun 28	ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG, and WATER from CSA now available on STN(R)
NEWS 9	Jul 12	BEILSTEIN enhanced with new display and select options, resulting in a closer connection to BABS
NEWS 10	Jul 30	BEILSTEIN on STN workshop to be held August 24 in conjunction with the 228th ACS National Meeting
NEWS 11	AUG 02	IFIPAT/IFIUDB/IFICDB reloaded with new search and display fields
NEWS 12	AUG 02	CAplus and CA patent records enhanced with European and Japan Patent Office Classifications
NEWS 13	AUG 02	STN User Update to be held August 22 in conjunction with the 228th ACS National Meeting
NEWS 14	AUG 02	The Analysis Edition of STN Express with Discover! (Version 7.01 for Windows) now available
NEWS 15	AUG 04	Pricing for the Save Answers for SciFinder Wizard within STN Express with Discover! will change September 1, 2004
NEWS 16	AUG 27	BIOCOMMERCE: Changes and enhancements to content coverage
NEWS 17	AUG 27	BIOTECHABS/BIOTECHDS: Two new display fields added for legal status data from INPADOC
NEWS 18	SEP 01	INPADOC: New family current-awareness alert (SDI) available
NEWS 19	SEP 01	New pricing for the Save Answers for SciFinder Wizard within STN Express with Discover!
NEWS 20	SEP 01	New display format, HITSTR, available in WPIDS/WPINDEX/WPIX

NEWS EXPRESS	JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 19:22:32 ON 01 SEP 2004

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

h eb c g cg b cg eb

FILE 'REGISTRY' ENTERED AT 19:22:38 ON 01 SEP 2004
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STRUCTURE FILE UPDATES: 31 AUG 2004 HIGHEST RN 736193-62-7
DICTIONARY FILE UPDATES: 31 AUG 2004 HIGHEST RN 736193-62-7

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

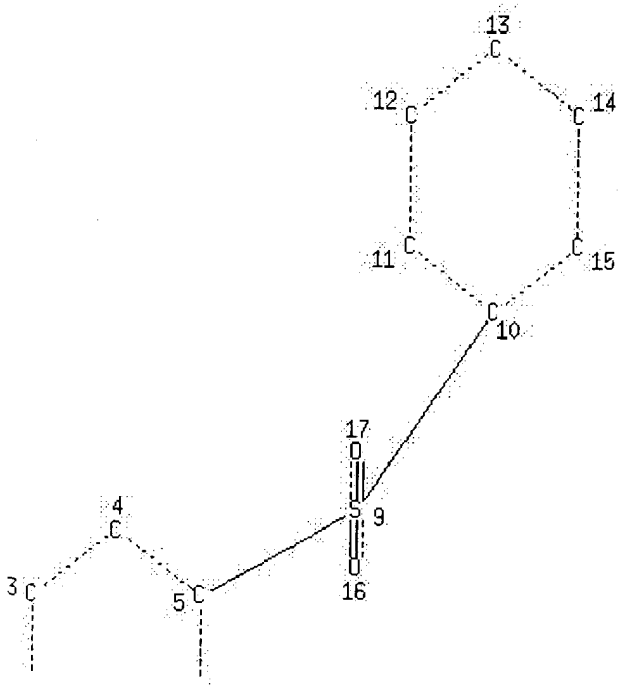
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Page 1-A

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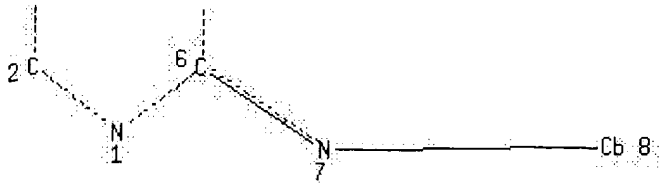

Page 2-A
NODE ATTRIBUTES:
NSPEC IS R AT 1
NSPEC IS R AT 2
NSPEC IS R AT 3
NSPEC IS R AT 4
NSPEC IS R AT 5
NSPEC IS R AT 6
NSPEC IS C AT 7
NSPEC IS C AT 8
NSPEC IS C AT 9
NSPEC IS R AT 10
NSPEC IS R AT 11
NSPEC IS R AT 12
NSPEC IS R AT 13
NSPEC IS R AT 14
NSPEC IS R AT 15
NSPEC IS C AT 16
NSPEC IS C AT 17
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 7 9 16 17
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

=> s l1
SAMPLE SEARCH INITIATED 19:24:34 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 61 TO ITERATE

100.0% PROCESSED 61 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 752 TO 1688
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 19:24:37 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 944 TO ITERATE

100.0% PROCESSED 944 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

h eb c g cg b cg eb

```
L3             1 SEA SSS FUL L1

=> file hcaplus
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                       156.26     156.47

FILE 'HCAPLUS' ENTERED AT 19:24:40 ON 01 SEP 2004
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FILE COVERS 1907 - 1 Sep 2004  VOL 141 ISS 10
FILE LAST UPDATED: 31 Aug 2004  (20040831/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


=> s l3
L4              0 L3

=> file reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         2.36     158.83

FILE 'REGISTRY' ENTERED AT 19:24:46 ON 01 SEP 2004
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STRUCTURE FILE UPDATES:   31 AUG 2004  HIGHEST RN 736193-62-7
DICTIONARY FILE UPDATES:  31 AUG 2004  HIGHEST RN 736193-62-7

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html
```
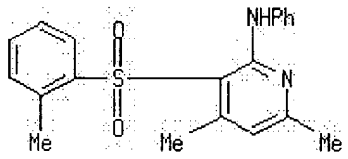

h eb c g cg b cg eb

=> d l3

L3 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN
RN 338956-82-4 REGISTRY
CN 2-Pyridinamine, 4,6-dimethyl-3-[(2-methylphenyl)sulfonyl]-N-phenyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C20 H20 N2 O2 S
SR Chemical Library
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

=> file hcaplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	2.19	161.02

FILE 'HCAPLUS' ENTERED AT 19:24:53 ON 01 SEP 2004
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FILE COVERS 1907 - 1 Sep 2004 VOL 141 ISS 10
FILE LAST UPDATED: 31 Aug 2004 (20040831/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 19:22:32 ON 01 SEP 2004)

FILE 'REGISTRY' ENTERED AT 19:22:38 ON 01 SEP 2004
L1 STRUCTURE UPLOADED
L2 0 S L1
L3 1 S L1 FULL

h eb c g cg b cg eb

```
     FILE 'HCAPLUS' ENTERED AT 19:24:40 ON 01 SEP 2004
L4             0 S L3

     FILE 'REGISTRY' ENTERED AT 19:24:46 ON 01 SEP 2004

     FILE 'HCAPLUS' ENTERED AT 19:24:53 ON 01 SEP 2004

=> s l3
L5             0 L3

=> file reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY     SESSION
FULL ESTIMATED COST                                         2.36      163.38

FILE 'REGISTRY' ENTERED AT 19:25:02 ON 01 SEP 2004
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STRUCTURE FILE UPDATES:   31 AUG 2004  HIGHEST RN 736193-62-7
DICTIONARY FILE UPDATES:  31 AUG 2004  HIGHEST RN 736193-62-7

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
L6       STRUCTURE UPLOADED

=> d l6
L6 HAS NO ANSWERS
L6                STR
```
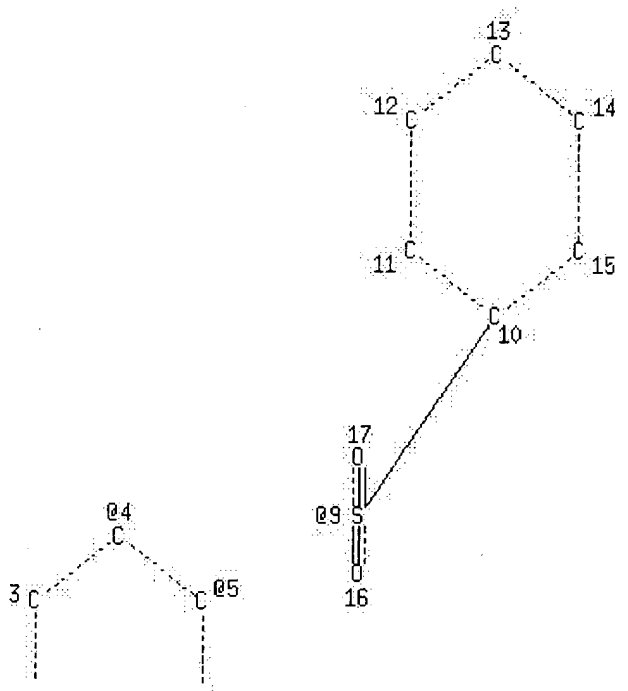
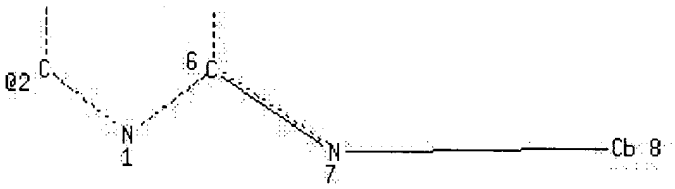

h eb c g cg b cg eb



Page 1-A



Page 2-A
VPA 9-2/4/5 S
NODE ATTRIBUTES:

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NSPEC	IS R	AT	2
NSPEC	IS R	AT	3
NSPEC	IS R	AT	4
NSPEC	IS R	AT	5
NSPEC	IS R	AT	6
NSPEC	IS C	AT	7
NSPEC	IS C	AT	8
NSPEC	IS C	AT	9
NSPEC	IS R	AT	10
NSPEC	IS R	AT	11
NSPEC	IS R	AT	12
NSPEC	IS R	AT	13
NSPEC	IS R	AT	14
NSPEC	IS R	AT	15
NSPEC	IS C	AT	16
NSPEC	IS C	AT	17

DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 7 9 16 17
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

h eb c g cg b cg eb

```
=> s l6
SAMPLE SEARCH INITIATED 19:25:53 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   2031 TO ITERATE

 49.2% PROCESSED    1000 ITERATIONS                                 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       37917 TO    43323
PROJECTED ANSWERS:              0 TO        0

L7             0 SEA SSS SAM L6

=> s l6 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 19:25:57 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  40720 TO ITERATE

100.0% PROCESSED   40720 ITERATIONS                                5 ANSWERS
SEARCH TIME: 00.00.01

L8             5 SEA SSS FUL L6

=> file hcaplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              155.84     319.22

FILE 'HCAPLUS' ENTERED AT 19:26:00 ON 01 SEP 2004
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FILE COVERS 1907 - 1 Sep 2004  VOL 141 ISS 10
FILE LAST UPDATED: 31 Aug 2004  (20040831/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


=> s l8
L9             4 L8

=> s l9 and hartz, r?/au
```
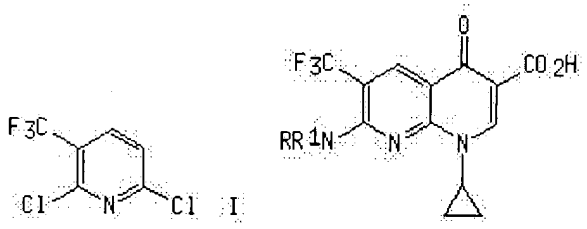

h eb c g cg b cg eb

```
            37 HARTZ, R?/AU
L10          0 L9 AND HARTZ, R?/AU

=> s l9 and arvanitis, a?/au
            49 ARVANITIS, A?/AU
L11          0 L9 AND ARVANITIS, A?/AU

=> d l9, ibib abs fhitstr, 1-4

L9   ANSWER 1 OF 4  HCAPLUS  COPYRIGHT 2004 ACS on STN
```

Full Text | Citing References

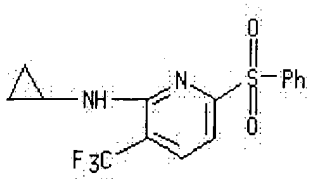
ACCESSION NUMBER: 1991:142933 HCAPLUS
DOCUMENT NUMBER: 114:142933
TITLE: Synthesis of 7-amino-1,4-dihydro-4-oxo-6-(trifluoromethyl)-1,8-naphthyridines. The use of methylidenemalonate as an activating group and a sulfur assisted cyclization
AUTHOR(S): Bridge, A. J.; Sanchez, J. P.
CORPORATE SOURCE: Parke-Davis Pharm. Res. Div., Warner-Lambert Co., Ann Arbor, MI, 48105, USA
SOURCE: Journal of Heterocyclic Chemistry (1990), 27(6), 1527-36
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:142933
GI



AB Dichloro(trifluoromethyl)pyridine (I) was used to develop a 6-step prepn. of enoxacin analogs, aminooxo(trifluoromethyl)naphthyridines [II, RR1 = (CH2)2NH(CH2)2, (CH2)2CH(CH2NHEt)CH2, (CH2)2CH(NH2)CH2]. The CF3 group deactivated the pyridine ring towards both nucleophiles and electrophiles. A new reagent for pyridone annulation, the (aminomethylidene)malonate anion, is described, along with several strategies to manipulate the electron d. of substituted pyridines.

IT **132844-51-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 132844-51-0 HCAPLUS
CN 2-Pyridinamine, N-cyclopropyl-6-(phenylsulfonyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

h eb c g cg b cg eb



L9 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1987:477777 HCAPLUS
DOCUMENT NUMBER: 107:77777
TITLE: 1,4-Dihydro-4-oxo-1,8-naphthyridines useful as antibacterials
INVENTOR(S): Todo, Yozo; Yamafuji, Tetsuo; Nagumo, Katsuyuki; Kitayama, Isao; Nagaki, Hideyoshi; Miyajima, Mikako; Konishi, Yoshinori; Narita, Hirokazu; Takano, Shuntaro; Seikawa, Isamu
PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Japan
SOURCE: Fr. Demande, 146 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2576305	A1	19860725	FR 1986-871	19860122
FR 2576305	B1	19910419		
JP 61171469	A2	19860802	JP 1985-9191	19850123
JP 06029247	B4	19940420		
JP 61189269	A2	19860822	JP 1985-28397	19850218
JP 06029246	B4	19940420		
JP 61204184	A2	19860910	JP 1985-43644	19850307
JP 06065670	B4	19940824		
JP 61229879	A2	19861014	JP 1985-69061	19850403
JP 06065671	B4	19940824		
JP 61257985	A2	19861115	JP 1985-97065	19850508
JP 06062619	B4	19940817		
JP 61289088	A2	19861219	JP 1985-129323	19850614
JP 06065672	B4	19940824		
AT 8600072	A	19901115	AT 1986-72	19860114
AT 392789	B	19910610		
GB 2170804	A1	19860813	GB 1986-1045	19860116
GB 2170804	B2	19890920		
US 4704459	A	19871103	US 1986-819821	19860117
FI 8600250	A	19860724	FI 1986-250	19860120
FI 83313	B	19910315		
FI 83313	C	19910625		
DE 3601517	A1	19860821	DE 1986-3601517	19860120
DE 3601517	C2	19891116		
DE 3637679	C1	19920827	DE 1986-3637679	19860120
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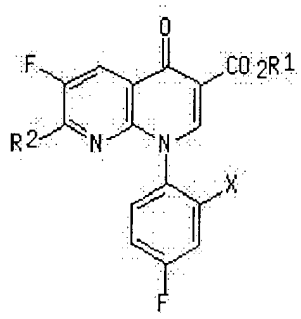

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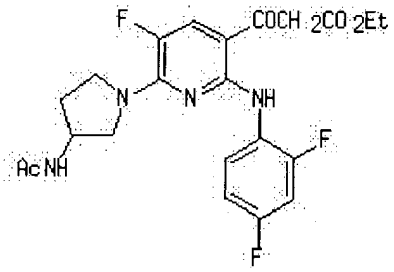


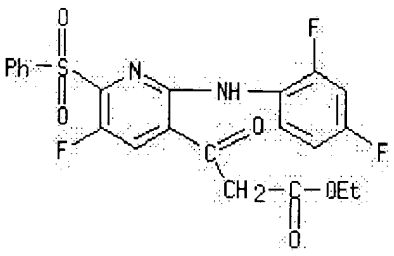
II

AB The title compds. [I; R1 = H, CO2H-protecting group; R2 = H, OH, N3, (protected) 3-amino-1-pyrrolidinyl, etc.; X = H, F] and their salts, useful as antibacterials, are prepd. Refluxing a mixt. of nicotinoylacetate II in benzene contg. (MeO)2CHNMe2 for 7 h gave 84.2% I (R1 = Et, R2 = 3-acetamido-1-pyrrolidinyl, X = F). The min. inhibitory concns. of I.HCl (R1 = H; R2 = 3-amino-1-pyrrolidinyl; X = F) against a variety of common bacteria ranged <0.02-0.2 μg/mL. I in general may be administered in the form of tablets, capsules, powders, syrups, etc.

IT **105152-64-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for antibacterial)

RN 105152-64-5 HCAPLUS

CN 3-Pyridinepropanoic acid, 2-[(2,4-difluorophenyl)amino]-5-fluoro-β-oxo-6-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 1986:608850 HCAPLUS
DOCUMENT NUMBER: 105:208850
TITLE: 1-(Aryl-substituted)-1,4-dihydro-6-fluoro-4-oxonaphthyridines and intermediates for their preparation

h eb c g cg b cg eb

PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd. , Japan
SOURCE: Belg., 152 pp.
CODEN: BEXXAL

DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 904086	A1	19860722	BE 1986-216165	19860122
JP 61171469	A2	19860802	JP 1985-9191	19850123
JP 06029247	B4	19940420		
JP 61189269	A2	19860822	JP 1985-28397	19850218
JP 06029246	B4	19940420		
JP 61204184	A2	19860910	JP 1985-43644	19850307
JP 06065670	B4	19940824		
JP 61257985	A2	19861115	JP 1985-97065	19850508
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CN 86100879	A	19861217	CN 1986-100879	19860122
CN 1019012	B	19921111		
CH 669378	A	19890315	CH 1988-642	19860122
CH 671957	A	19891013	CH 1988-643	19860122
IL 88468	A1	19910131	IL 1986-88468	19860123
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JP 1985-97065	19850508
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GB 1986-1045	19860116
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CH 1986-235	19860122
NL 1986-138	19860122
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IL 1986-77688	19860123
US 1986-819821	19860617

OTHER SOURCE(S): CASREACT 105:208850

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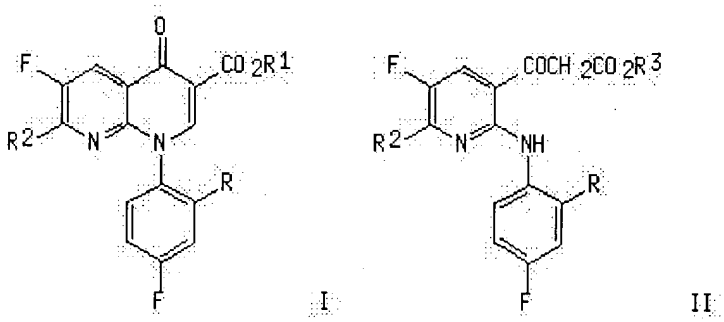


I II

AB Bactericidal naphthyridines I [R = H, F; R1 = H, protective group; R2 = halo, OH, N3, (substituted) alkoxy, alkylthio, arylthio, alkylsulfinyl, arenesulfinyl, alkylsulfonyl, phosphinyloxy, 3-aminopyrrolidino, piperazino, etc.] were prepd. by cyclization of fluoronicotinylacetates II (R3 = protective group) with formamide acetals (R4O)(R5O)CHNR6R7 (III; R4, R5 = alkyl, cycloalkyl; R4R5 = alkylene; R6, R7 = alkyl, NR6R7 = heterocycle). This cyclization was demonstrated using numerous III for prepn. of several I. Thus, II (R = F, R2 = 3-acetylaminopyrrolidino; R3 = Et), which was prepd. in ~6 steps from H2NC6H3F2-2,4, reacted with (MeO)2CHNMe2 to give 88.1% I (R1 = Et). I.2HCl (R = H, F; R1 = H, R2 = 3-aminopyrrolidino) was bactericidal against gram-pos. and gram-neg. bacteria in vitro, with MIC's of ≤0.05-0.2 μg/mL.

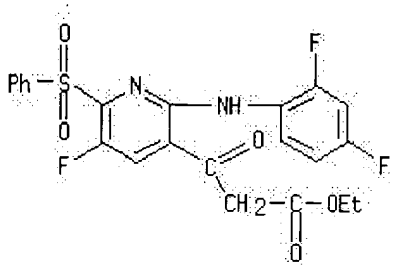
IT **105152-64-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of)

RN 105152-64-5 HCAPLUS

CN 3-Pyridinepropanoic acid, 2-[(2,4-difluorophenyl)amino]-5-fluoro-β-oxo-6-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

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Full Text | Citing References

ACCESSION NUMBER: 1985:220858 HCAPLUS
DOCUMENT NUMBER: 102:220858
TITLE: 1,8-Naphthyridine derivatives
INVENTOR(S): Matsumoto, Junichi; Nakamura, Shinichi; Miyamoto, Teruyuki; Uno, Hitoshi
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd. , Japan
SOURCE: Eur. Pat. Appl., 69 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 132845	A2	19850213	EP 1984-108822	19840725
EP 132845	A3	19850911		
EP 132845	B1	19880413		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 60028978	A2	19850214	JP 1983-138000	19830727
JP 03073548	B4	19911122		
JP 60260577	A2	19851223	JP 1984-117266	19840606
JP 05068477	B4	19930929		
CS 274601	B2	19910915	CS 1984-5575	19840719
AU 8430910	A1	19850131	AU 1984-30910	19840720
AU 565898	B2	19871001		
US 4649144	A	19870310	US 1984-632853	19840720
ZA 8405708	A	19850327	ZA 1984-5708	19840724
CA 1327580	A1	19940308	CA 1984-459527	19840724
AT 33494	E	19880415	AT 1984-108822	19840725
DK 8403651	A	19850128	DK 1984-3651	19840726
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FI 77862	B	19890131		
FI 77862	C	19890510		
HU 34976	O	19850528	HU 1984-2875	19840726
HU 194561	B	19880229		
DD 228256	A5	19851009	DD 1984-265685	19840726
ES 534624	A1	19851216	ES 1984-534624	19840726
SU 1482527	A3	19890523	SU 1984-3773894	19840726
SU 1442075	A3	19881130	SU 1985-3884501	19850429
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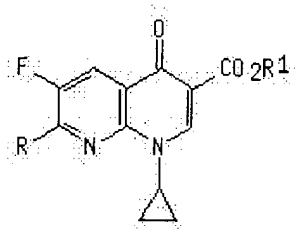

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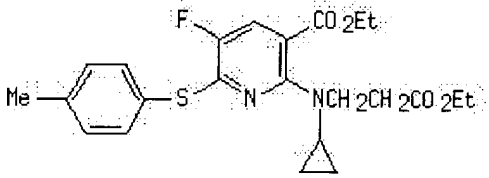


II

AB Naphthyridinecarboxylates I [R = (un)substituted 3-aminopyrrolidino; R1 = H, ester group] were prepd. Thus, I (R = 4-MeC6H4SO2, R1 = Et), prepd. in 7 steps from 2,6-dichloro-5-fluoronicotinonitrile via nicotinate II, was aminated with 3-(acetylamino)pyrrolidine to give I [R = 3-(acetylamino)pyrrolidino, R1 = Et], which was treated with 10% NaOH at 90-110° for 2 h to give I (R = 3-aminopyrrolidino, R1 = H) (II). II inhibited Streptococcus pneumoniae 1 infections in mice with ED50s of 15.2 mg/kg orally and 8.61 mg/kg, i.v.

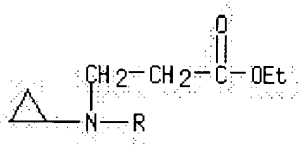
IT **96568-09-1P**

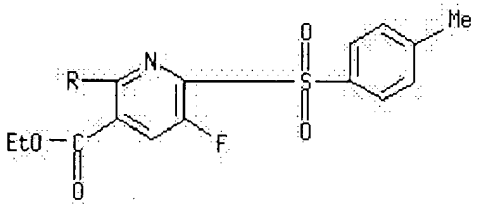
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and amination of, with pyrrolidine derivs.)

RN 96568-09-1 HCAPLUS

CN 3-Pyridinecarboxylic acid, 2-[cyclopropyl(3-ethoxy-3-oxopropyl)amino]-5-fluoro-6-[(4-methylphenyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)





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FILE LAST UPDATED:  01 May 1997 (19970501/UP)

  This file contains CAS Registry Numbers for easy and accurate
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  now searchable from 1907-1966.  TIFF images of CA abstracts
  printed between 1907-1966 are available in the PAGE
  display formats.

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L11          0 S L9 AND ARVANITIS, A?/AU

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STRUCTURE FILE UPDATES: 31 AUG 2004 HIGHEST RN 736193-62-7
DICTIONARY FILE UPDATES: 31 AUG 2004 HIGHEST RN 736193-62-7

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Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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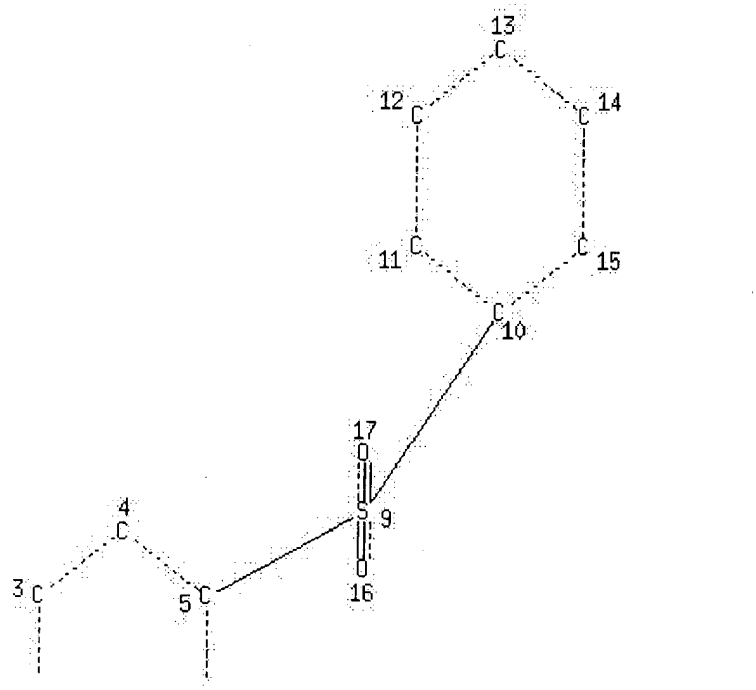

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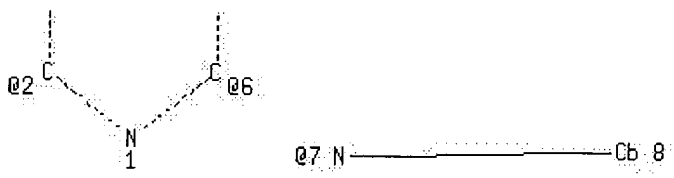

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FILE LAST UPDATED: 31 Aug 2004 (20040831/ED)

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L16 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Other References

ACCESSION NUMBER: 2002:888558 HCAPLUS
DOCUMENT NUMBER: 137:384852
TITLE: Preparation of 2,5-disubstituted pyridine, pyrimidine, pyridazine and 1,2,4-triazine derivatives for use as p38 inhibitors
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PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092087	A1	20021121	WO 2002-US17673	20020510
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003096817	A1	20030522	US 2002-144153	20020510
EP 1392300	A1	20040303	EP 2002-752027	20020510

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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.: US 2001-290504P P 20010511
WO 2002-US17673 W 20020510

OTHER SOURCE(S): MARPAT 137:384852

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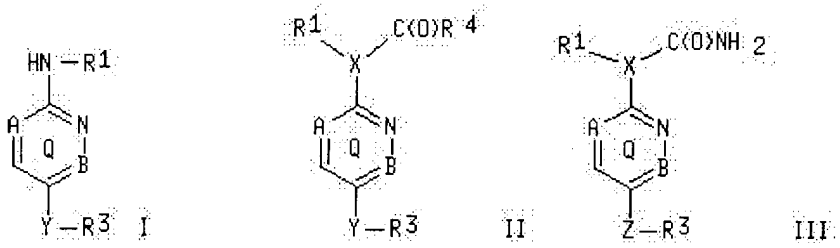

AB The present invention relates to 2,5-disubstituted pyridine, pyrimidine, pyridazine and 1,2,4-triazine derivs. (shown as I, II, and III; e.g. [6-(2,6-difluorophenylamino)pyridin-3-yl]phenylmethanone) as inhibitors of p38, a mammalian protein kinase involved in cell proliferation, cell death and response to extracellular stimuli. The invention also relates to methods for producing these inhibitors. The invention also provides pharmaceutical compns. comprising the inhibitors of the invention and methods of using those compns. in the treatment and prevention of various disorders. In I, II, and III: A is N or CR; B is N or CR; X is N or CH; Y is C(O), CHOH, CH2, S, S(O), S(O)2, NH, NR, O or Z; Z is CHOH, -[(C2-C3)-alkyl]-, -S-[(C1-C3)-alkyl]-, -O-[(C1-C3)-alkyl]-, -NH-[(C1-C3)-alkyl]-, -[(C2-C3)-alkenyl]-, -[(C2-C3)-alkynyl]-, -O[(C2-C3)-alkenyl]-, -O[(C2-C3)-alkynyl]-, -S-[(C2-C3)-alkenyl]-, -S[(C2-C3)-alkynyl]-, -NH-[(C2-C3)-alkenyl]-, -NH-[(C2-C3)-alkynyl]-, -[(C1-C3)-alkyl]-S-, -[(C1-C3)-alkyl]-O-, -[(C1-C3)-alkyl]-NH-, -[(C2-C3)-alkenyl]-O-, -[(C2-C3)-alkynyl]-O-, -[(C2-C3)-alkenyl]-S-, -[(C2-C3)-alkynyl]-S-, -[(C2-C3)-alkenyl]-NH- or -[(C2-C3)-alkynyl]-NH-; the C atoms of Q may be optionally substituted with R. R1 = aryl, heteroaryl, carbocyclyl, heterocyclyl or C1-10 aliph., any of which may be optionally substituted; R3 = aryl, heteroaryl, carbocyclyl, heterocyclyl, or C1-10 aliph., any of which may be optionally substituted; R4 = NHR5, N(R5)2, OR5, C(O)OR5, -C(O)R5 or R6; each R5 = aryl, heteroaryl, carbocyclyl, heterocyclyl or C1-5 aliph.; R6 = aryl, heteroaryl, carbocyclyl, heterocyclyl or C1-5 aliph., any of which may be optionally substituted; each R = H, halo or a straight or branched chain C1-C4 alkyl; each of R1, R5 and R6 = optionally substituted with up to 4 substituents, each of which = halo; C1-C3 alkyl optionally substituted with NR'2, OR', CO2R' or CONR'2; O-(C1-C3)-alkyl optionally substituted with NR'2, OR', CO2R' or CONR'2; NR'2; OCF3; CF3; NO2; CO2R'; CONR'; SR'; COR'; SO2NR'2; SCF3; CN; NR'C(O)R'; NR'C(O)OR'; NR'C(O)C(O)R'; NR'SO2R'; OR'; OC(O)R'; OPO3H2; or N:CNR'2. R3 is optionally substituted with up to 4 substituents, each of which = halo; C1-C3 straight or branched alkyl optionally substituted with NR'2, OR', CO2R', SO2NR'2, N:CNR'2, R', or CONR'2; O-(C1-C3)-alkyl optionally substituted with NR'2, OR', CO2R', SO2NR'2, N:CNR'2, R', or CONR'2; NR'2; OCF3; CF3; NO2; CONR'2; R'; OR'; SR'; COR'; C(O)OR'; SO2NR'2; SCF3; N:CNR'2; or CN; R' = H; (C2-C3)-alkyl; (C2-C3)-alkenyl or alkynyl; a 5-8 membered aryl ring system, a 5-8 membered heteroaryl ring system or a 5-6 membered heterocyclic ring system, any of which may be independently and optionally substituted with 1 to 3 substituents = halo, methoxy, cyano, nitro, amino, hydroxy, Me or Et; provisos are given in the claims. Although the methods of prepn. are not claimed, ~8 example prepns. are included. IC50 or Ki values in μM ranges are given for inhibition of ATPase activity of p38 for 62

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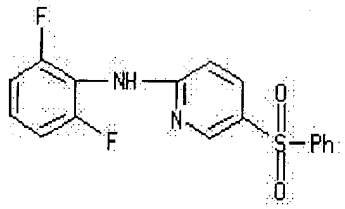
claimed compds.; for example, [6-(2,6-difluorophenylamino)pyridin-3-yl]phenylmethanone exhibits IC50 ≤1 μM.

IT **475634-59-4P**, N-(2,6-Difluorophenyl)-5-(phenylsulfonyl)pyridin-2-amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of 2,5-disubstituted pyridine, pyrimidine, pyridazine and 1,2,4-triazine derivs. for use as p38 inhibitors)

RN 475634-59-4 HCAPLUS

CN 2-Pyridinamine, N-(2,6-difluorophenyl)-5-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



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